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      1
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
                 "Ask CAS" for self-help around the clock
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NEWS
     3
        AUG 09
                 INSPEC enhanced with 1898-1968 archive
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         AUG 28
                 ADISCTI Reloaded and Enhanced
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         AUG 30
                 CA(SM)/CAplus(SM) Austrian patent law changes
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         SEP 11
    6
                 CA/CAplus enhanced with more pre-1907 records
NEWS
         SEP 21
    7
                 CA/CAplus fields enhanced with simultaneous left and right
                 truncation
         SEP 25
NEWS
     8
                 CA(SM)/CAplus(SM) display of CA Lexicon enhanced
         SEP 25
                 CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS
     9
                 CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS 10
         SEP 25
NEWS 11
         SEP 28
                 CEABA-VTB classification code fields reloaded with new
                 classification scheme
NEWS 12
         OCT 19
                 LOGOFF HOLD duration extended to 120 minutes
NEWS 13
         OCT 19
                 E-mail format enhanced
         OCT 23
NEWS 14
                 Option to turn off MARPAT highlighting enhancements available
                 CAS Registry Number crossover limit increased to 300,000 in
NEWS 15
         OCT 23
                 multiple databases
NEWS 16
         OCT 23
                 The Derwent World Patents Index suite of databases on STN
                 has been enhanced and reloaded
                 CHEMLIST enhanced with new search and display field
NEWS 17
         OCT 30
NEWS 18
                 JAPIO enhanced with IPC 8 features and functionality
```

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

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COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

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STRUCTURE FILE UPDATES: 7 NOV 2006 HIGHEST RN 912617-52-8 DICTIONARY FILE UPDATES: 7 NOV 2006 HIGHEST RN 912617-52-8

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

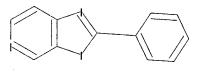
Please note that search-term pricing does apply when conducting SmartSELECT searches.

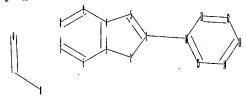
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>

Uploading C:\Program Files\Stnexp\Queries\10661296A.str







chain nodes : 17 18 19

ring nodes:
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15

chain bonds: 1-10 17-18 17-19 ring bonds:

1-2 1-5 2-3 3-4 3-6 4-5 4-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14

14-15

exact/norm bonds :

1-2 1-5 2-3 4-5 17-18 17-19

exact bonds :

1-10

normalized bonds :

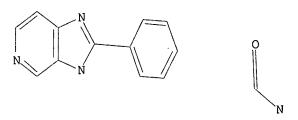
3-4 3-6 4-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14 14-15

isolated ring systems :

containing 1 : 10 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 17:CLASS 18:CLASS 19:CLASS



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:05:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 348 TO ITERATE

100.0% PROCESSED 348 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 5841 TO 8079
PROJECTED ANSWERS: 6 TO 266

L2 6 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 12:05:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 6917 TO ITERATE

100.0% PROCESSED 6917 ITERATIONS 117 ANSWERS SEARCH TIME: 00.00.01

L3 117 SEA SSS FUL L1

=> file caplus

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ENTRY SESSION
FULL ESTIMATED COST 166.94 167.15

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FILE COVERS 1907 - 8 Nov 2006 VOL 145 ISS 20 FILE LAST UPDATED: 7 Nov 2006 (20061107/ED)

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            25 L3
=> d ibib abs hitstr tot
     ANSWER 1 OF 25
                     CAPLUS COPYRIGHT 2006 ACS on STN
T.4
ACCESSION NUMBER:
                         2006:453896 CAPLUS
DOCUMENT NUMBER:
                         145:55376
                         Novel 5-azaindole factor VIIa inhibitors
TITLE:
AUTHOR(S):
                         Riggs, Jennifer R.; Hu, Huiyong; Kolesnikov,
                         Aleksandr; Leahy, Ellen M.; Wesson, Kieron E.;
                         Shrader, William D.; Vijaykumar, Dange; Wahl, Troy A.;
                         Tong, Zhiwei; Sprengeler, Paul A.; Green, Michael J.;
                         Yu, Christine; Katz, Brad A.; Sanford, Ellen; Nguyen,
                         Margaret; Cabuslay, Ronnel; Young, Wendy B.
CORPORATE SOURCE:
                         Celera Genomics, South San Francisco, CA, 94080, USA
SOURCE:
                         Bioorganic & Medicinal Chemistry Letters (2006),
                         16(12), 3197-3200
                         CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER:
                         Elsevier B.V.
DOCUMENT TYPE:
                         Journal
                         English
LANGUAGE:
AB
     The discovery and development of 5-azaindole factor VIIa inhibitors will
     be described.
IT
     891190-91-3P 891190-93-5P 891190-94-6P
     891190-95-7P 891190-96-8P 891190-97-9P
     891190-98-0P 891190-99-1P 891191-00-7P
     891191-01-8P 891191-02-9P 891191-03-0P
     891191-04-1P 891191-05-2P 891191-06-3P
     891191-07-4P 891191-08-5P 891191-09-6P
     891191-10-9P 891191-11-0P 891191-12-1P
     891191-13-2P 891191-14-3P 891191-15-4P
     891191-16-5P 891191-17-6P 891191-18-7P
     891191-19-8P 891191-20-1P 891191-21-2P
     891191-22-3P 891191-23-4P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (Novel 5-azaindole factor VIIa inhibitors)
RN
     891190-91-3 CAPLUS
     Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-
CN
     yl]methyl]-N'-pentyl- (9CI) (CA INDEX NAME)
```

RN 891190-93-5 CAPLUS
CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]-N'-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 891190-94-6 CAPLUS

CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]-N'-[2-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ NH & & & \\ & & OH \end{array}$$

RN 891190-95-7 CAPLUS

CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 891190-96-8 CAPLUS

CN Urea, N-(2-fluorophenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)

RN 891190-97-9 CAPLUS

CN Urea, N-(3-fluorophenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)

RN 891190-98-0 CAPLUS

CN Urea, N-(4-fluorophenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)

RN 891190-99-1 CAPLUS

CN Urea, N-(2,6-difluorophenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)

RN 891191-00-7 CAPLUS

CN Urea, N-(2,4-difluorophenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)

RN 891191-01-8 CAPLUS

CN Urea, N-(3,4-difluorophenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)

RN 891191-02-9 CAPLUS

CN Urea, N-(3,5-difluorophenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)

RN 891191-03-0 CAPLUS

CN Urea, N-(2-chlorophenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-

$$\begin{array}{c|c} N & O \\ \hline NH & OH \\ \hline \end{array}$$

$$\begin{array}{c|c} CH_2 - NH - C - NH \\ \hline \end{array}$$

RN 891191-04-1 CAPLUS

CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]-N'-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 891191-05-2 CAPLUS

CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 891191-06-3 CAPLUS

CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]-N'-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 891191-07-4 CAPLUS

CN Urea, N-(2,4-dimethoxyphenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)

RN 891191-08-5 CAPLUS

CN Urea, N-(3-acetylphenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-

RN 891191-09-6 CAPLUS

CN Urea, N-(4-acetylphenyl)-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)

RN 891191-10-9 CAPLUS

CN Benzoic acid, 4-[[[[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 891191-11-0 CAPLUS

CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]-N'-2-thienyl- (9CI) (CA INDEX NAME)

RN 891191-12-1 CAPLUS

CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]-N'-3-thienyl- (9CI) (CA INDEX NAME)

RN 891191-13-2 CAPLUS

CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-

yl]methyl]-N'-2-pyridinyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & O \\ \hline NH & OH \\ \end{array}$$

RN 891191-14-3 CAPLUS

CN Urea, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]-N'-3-pyridinyl- (9CI) (CA INDEX NAME)

RN 891191-15-4 CAPLUS

CN 1-Pyrrolidinecarboxamide, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)

RN 891191-16-5 CAPLUS

CN 1-Piperazinecarboxamide, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 891191-17-6 CAPLUS

CN Benzeneacetamide, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ NH & & & \\ OH & & \\ \end{array}$$

RN 891191-18-7 CAPLUS

CN Benzeneacetamide, 2,6-difluoro-N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-

$$\begin{array}{c|c} N & O & F \\ \hline NH & OH & CH_2-NH-C-CH_2 \\ \hline \end{array}$$

RN 891191-19-8 CAPLUS

CN Benzenepropanamide, α -hydroxy-N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & O & OH \\ \hline NH & OH \\ \hline OH & CH_2-NH-C-CH-CH_2-Ph \\ \hline \end{array}$$

RN 891191-20-1 CAPLUS

CN 3-Pyridinepropanamide, α -hydroxy-N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)

RN 891191-21-2 CAPLUS

CN Benzeneacetamide, α -hydroxy-N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)

RN 891191-22-3 CAPLUS

CN Benzenebutanamide, N-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3-yl]methyl]- (9CI) (CA INDEX NAME)

RN 891191-23-4 CAPLUS

CN Urea, N-hydroxy-N'-[[2'-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-

ΙT 891190-90-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(Novel 5-azaindole factor VIIa inhibitors)

RN891190-90-2 CAPLUS

CN Urea, [[2!-hydroxy-3'-(1H-imidazo[4,5-c]pyridin-2-yl)[1,1'-biphenyl]-3yl]methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2006:437390 CAPLUS

DOCUMENT NUMBER:

144:468166

TITLE:

Preparation of benzimidazole derivatives as mediators

of hedgehog signaling pathways

INVENTOR(S):

Guicherit, Oivin M.; Boyd, Edward Andrew; Brunton, Shirley Ann; Price, Stephen; Stibbard, John Harry

Alexander; MacKinnon, Colin H.

PATENT ASSIGNEE(S):

Curis, Inc., USA

SOURCE:

PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	TENT	NO.			KIND DATE				APPL	ICAT		DATE							
WO	2006	0505	06		A1	-	 2006	0511	1	WO 2	005-	US40	054		2	0051	 103		
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
								DK,											
								IL,											
	KZ, LC, LK,					LŞ,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,		
		ΜZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,		
	•	SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,		
		VN,	YU,	ZA,	ZM,	zw													
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,		
		IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
		GM,	ΚE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,		
		KG,	ΚZ,	MD,	RU,	TJ,	TM												
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D 00	ALID OF	/C1 -			NATE OF	D 70 CO	1 4 4 .	A C O 1	~ ~										

PRIOR

OTHER SOURCE(S):

MARPAT 144:468166

$$R^2$$
 Ar
 $X-Y$
 $Z-J-R^1$
 $C1$
 CF

AΒ Title compds. represented by the formula I [wherein X, Z = independently a direct bond, O, S, etc.; Y = C(=0), C(=S), SO2, SO or NR7; A = O, S or NR7; G = cycloalkyl, heterocyclyl or (hetero)aryl; Ar = (un)substituted (hetero)aryl; R1 = disubstituted pyridinyl; R2 = 0-4 substitutes; R7 = independently H, alkyl, heteroaryl, etc.; J = independently a chain having from 0-8 unites from CR, NR, O or S; R = H or alkyl] were prepared as mediators of hedgehog signaling pathways. For example, II was provided in a multi-step synthesis starting from 2-methyl-6-trifluoromethylnicotinic acid. The bioassay for hedgehog pathway signaling activity was described. The present invention makes available methods and reagents for inhibiting aberrant growth states resulting from hedgehog gain-of-function, ptc loss-of-function or smoothened gain-of-function comprising contacting the cell with a hedgehog antagonist of formula (I) in a sufficient amount to aberrant growth state, e.g., to agonize a normal ptc pathway or antagonize smoothened or hedgehog activity.

ΙI

IT 886435-46-7P 886435-47-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole derivs. as mediators of hedgehog signaling pathways)

RN 886435-46-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-chloro-3-[6-(dimethylamino)-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]-2-methyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 886435-47-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-chloro-3-(6-chloro-1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-2-methyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

4

ACCESSION NUMBER:

2005:1251654 CAPLUS

DOCUMENT NUMBER:

144:80570

TITLE:

1-[4-(1H-Benzoimidazol-2-yl)-phenyl]-3-[4-(1H-

benzoimidazol-2-yl)-phenyl]-urea derivatives as small

molecule heparanase inhibitors

AUTHOR(S):

Pan, Weitao; Miao, Hua-Quan; Xu, Yong-Jiang; Navarro, Elizabeth C.; Tonra, James R.; Corcoran, Erik; Lahiji, Armin; Kussie, Paul; Kiselyov, Alexander S.; Wong, Wai

C.; Liu, Hu

CORPORATE SOURCE:

Department of Chemistry, ImClone Systems Incorporated,

Brooklyn, NY, 11226, USA

SOURCE:

Bioorganic & Medicinal Chemistry Letters (2006),

16(2), 409-412

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER:

Elsevier B.V.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB A novel class of 1-[4-(1H-benzoimidazol-2-yl)-phenyl]-3-[4-(1H-benzoimidazol-2-yl)-phenyl]-ureas are described as potent inhibitors of heparanase. Among them are 1,3-bis-[4-(1H-benzoimidazol-2-yl)-phenyl]-urea (7a) and 1,3-bis-[4-(5,6-dimethyl-1H-benzoimidazol-2-yl)-phenyl]-urea (7d), which displayed good heparanase inhibitory activity (IC50 0.075-0.27 μM). Compound 7a showed good efficacy in a B16 metastasis model.

IT 851675-86-0P 851676-06-7P 872552-42-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(benzoimidazolyl phenylureas as heparanase inhibitors)

RN 851675-86-0 CAPLUS

CN Urea, N-[4-(1H-benzimidazol-2-yl)phenyl]-N'-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 851676-06-7 CAPLUS

CN Urea, N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-N'-[4-(5-methoxy-1H-benzimidazol-2-yl)phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

CN Urea, N,N'-bis[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

19

L4 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2005:1251651 CAPLUS

DOCUMENT NUMBER: 144:163488

TITLE: N-(4-{[4-(1H-Benzoimidazol-2-yl)-arylamino]-methyl}-

phenyl)-benzamide derivatives as small molecule

heparanase inhibitors

AUTHOR(S): Xu, Yong-Jiang; Miao, Hua-Quan; Pan, Weitao; Navarro,

Elizabeth C.; Tonra, James R.; Mitelman, Stan; Camara,

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS

M. Margarita; Deevi, Dhanvanthri S.; Kiselyov,

Alexander S.; Kussie, Paul; Wong, Wai C.; Liu, Hu

CORPORATE SOURCE: Department of Chemistry, ImClone Systems Incorporated,

Brooklyn, NY, 11226, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006),

16(2), 404-408

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

AB A novel class of N-(4-{[4-(1H-benzoimidazol-2-yl)-arylamino]-methyl}-phenyl)-benzamides are described as inhibitors of the endo- β -glucuronidase heparanase. Among them are N-(4-{[4-(1H-benzoimidazol-2-yl)-phenylamino]-methyl}-phenyl)-3-bromo-4-methoxy-benzamide (15h), and N-(4-{[5-(1H-benzoimidazol-2-yl)-pyridin-2-ylamino]-methyl}-phenyl)-3-bromo-4-methoxy-benzamide (23) which displayed good heparanase

inhibitory activity (IC50 0.23-0.29 μM), with the latter showing oral exposure in mice.

IT 873562-17-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(benzamide derivs. as small mol. heparanase inhibitors)

RN 873562-17-5 CAPLUS

CN Benzamide, 3-bromo-N-[4-[[[4-(1H-imidazo[4,5-c]pyridin-2-

yl)phenyl]amino]methyl]phenyl]-4-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{DBr} \\ \text{OMe} \\ \text{NH-CH}_2 \\ \end{array}$$

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:409487 CAPLUS

DOCUMENT NUMBER: 142:463723

TITLE: Preparation of [(benzimidazol-2-yl)phenyl](phenyl)urea

compounds as heparanase inhibitors

INVENTOR(S): Liu, Hu; Pan, Weitao; Xu, Yong-Jiang PATENT ASSIGNEE(S): Imclone Systems Incorporated, USA

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: Engli

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.		KIND DATE					APPL	ICAT:		DATE				
WO 2005	042495		A1 20050512			1	WO 2	004-I		20041021					
W:	AE, A	G, AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	ΒY,	ΒZ,	CA,	CH,
	CN, C	O, CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE, G	H, GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,
	LK, L	R, LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
	NO, N	Z, OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
	TJ, TI	M, TN,	TR,	TT,	ΤZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
RW:	BW, G	H, GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
	AZ, B	Y, KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
	EE, E	S, FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
	SI, S	K, TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,
	SN, T	D, TG											-		•
PRIORITY APP	LN. IN	FO.:						US 2	003-]	P 20	0031	021		
OTHER SOURCE	(S):		MARPAT 142:46372					23							

$$[R^{1}]_{m}$$
 $[R^{2}]_{n}$
 $[R^{2}]_{n}$

$$\begin{array}{c|c} & & & & \\ & &$$

AB Title compds. I [wherein m = 0-2; n = 1-2; each R1 = independently F, Br, Cl, I, NO2, NH2, CN, OH, alkyl, alkoxy; each R2 = independently F, Br, Cl, I, NO2, NH2, CN, OH, alkyl, alkoxy, hetero/aryl, aryl/alkyl, NHCO-alkyl, etc.], which are inhibitors of heparanases and are useful in inhibiting the release of bioactive agents from heparan sulfate proteoglycans, were prepared Thus, reacting 4-(1H-Benzimidazol-2-yl)phenylamine with

Ι

1-Isocyanato-4-nitrobenzene gave urea II in 80% yield. Most I showed 29-109% inhibition at the concentration of 33 μM (99 % inhibition for II) in the heparanase activity assays.

IT 851675-86-0P, 1-[4-(1H-Benzimidazol-2-yl)phenyl]-3-[4-(3H-imidazo[4,5-c]pyridin-2-yl)phenyl]urea 851676-06-7P 851676-08-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of (benzimidazolylphenyl)(phenyl)urea derivs. as heparanase inhibitors)

RN 851675-86-0 CAPLUS

CN Urea, N-[4-(1H-benzimidazol-2-yl)phenyl]-N'-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 851676-06-7 CAPLUS

CN Urea, N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-N'-[4-(5-methoxy-1H-benzimidazol-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 851676-08-9 CAPLUS

CN Urea, N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-N'-[4-(4-methyl-1H-benzimidazol-2-yl)phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

1

ACCESSION NUMBER:

2005:300252 CAPLUS

DOCUMENT NUMBER:

142:373830

TITLE:

Preparation of benzimidazoles and imidazopyridines as

heparanase inhibitors

INVENTOR(S):

Liu, Hu; Miao, Hua-quan Imclone Systems, Inc., USA

PATENT ASSIGNEE(S):

PCT Int. Appl., 75 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATE	NT N	0.			KIND DATE				i	APPL:	ICAT:	DATE					
WO 20	0050	3020	06		A1 20050407			0407	1	WO 2	004-	JS31	 689		2	0040	924
V	W: 1	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑŻ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	CN, CO, CR,					CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE, GH, GM,																
	.]	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
]	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
	TJ, TM, TN,					TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
F	RW: BW, GH, GM,				KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	ÜG,	ZM,	ZW,	AM,
	7	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
	1	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
							CF,										
	:	SN,	TD,	ΤG											-	-	-
PRIORITY A					į	JS 20	003-	5051	36P	P 20030924							
OTHER SOUR		MAR	PAT	142:	37383												

$$(R^{1})_{m} \xrightarrow{I} (R^{2})_{2}$$

$$(R^{3})_{p}$$

$$(R^{3})_{p}$$

$$(R^{3})_{p}$$

$$(R^{3})_{p}$$

$$(R^{3})_{p}$$

$$(R^{3})_{p}$$

Title compds. I [wherein Z = N or CH (at least one Z is CH); m, n, p =AΒ 0-4; R1, R3 = halo, nitro, amino, cyano, hydroxy, (un) substituted alk(en/yn)l, alkoxy, (hetero)aryl or -NHC(0)-aryl; R2 = H, (un)substituted carbonyl or sulfonyl], which are inhibitors of heparanases and are useful in inhibiting the release of bioactive agents from heparan sulfate proteoglycans, were prepared For example, cyclocondensation of 1,2-phenylenediamine with 3-aminobenzoic acid in the presence of polyphosphoric acid (52% yield) followed by acylation with 3-bromo-4-methoxybenzoyl chloride, which was obtained by chlorination of the corresponding acid with oxalyl chloride, gave amide II (8% yield). Most I showed 29-109% inhibition at the concentration of 25 μM (65% inhibition for II) in the heparanase activity assays. 849507-43-3P 849507-63-7P 849507-75-1P 849507-89-7P 849508-00-5P 849508-18-5P 849509-31-5P 849509-49-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibitor; preparation of benzimidazoles and imidazopyridines as heparanase inhibitors)

RN 849507-43-3 CAPLUS

CN Acetamide, N-[2-chloro-4-[[[4-(1H-imidazo[4,5-c]pyridin-2-

RN 849507-63-7 CAPLUS

CN 1,4-Benzodioxin-6-carboxamide, 2,3-dihydro-N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 849507-75-1 CAPLUS

CN 5-Thiazolecarboxamide, 2-(2,3-dihydro-5-benzofuranyl)-N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 849507-89-7 CAPLUS

CN Benzo[b]thiophene-3-carboxamide, N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 849508-00-5 CAPLUS

CN Benzo[b]thiophene-2-carboxamide, N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 849508-18-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-1,3-dimethyl- (9CI) (CA INDEX NAME)

RN 849509-31-5 CAPLUS

CN Benzeneacetamide, 3-bromo-N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-4-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & \\ N & & \\ NH & & \\ \end{array} \begin{array}{c} O \\ NH - C - CH_2 \end{array} \begin{array}{c} & \\ OMe \\ \end{array}$$

RN 849509-49-5 CAPLUS

CN Benzamide, 2-chloro-N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:136530 CAPLUS

DOCUMENT NUMBER:

142:233301

TITLE:

Selective pharmacologic inhibition of protein trafficking and related methods of treating human

diseases

INVENTOR(S):

Sircar, Jagadish; Richards, Mark L.

PATENT ASSIGNEE(S):

Avanir Pharmaceuticals, USA

SOURCE:

PCT Int. Appl., 134 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA?	ENT I	NO.			KIND DATE					APPL		DATE					
	20050				A2 20050217 A3 20050901				,	WO 2							
	W: RW:	CN, GE, LK, NO, TJ, BW, AZ, EE,	CO, GH, LR, NZ, TM, GH, BY, ES,	CR, GM, LS, OM, TN, GM, KG, FI,	CU, HR, LT, PG, TR, KE, KZ, FR,	CZ, HU, LU, PH, TT, LS, MD, GB,	AU, DE, ID, LV, PL, TZ, MW, RU, GR,	DK, IL, MA, PT, UA, MZ, TJ, HU,	DM, IN, MD, RO, UG, NA, TM, IE,	DZ, IS, MG, RU, US, SD, AT, IT,	EC, JP, MK, SC, UZ, SL, BE, LU,	EE, KE, MN, SD, VC, SZ, BG, MC,	EG, KG, MW, SE, VN, TZ, CH, NL,	ES, KP, MX, SG, YU, UG, CY, PL,	FI, KR, MZ, SK, ZA, ZM, CZ, PT,	GB, KZ, NA, SL, ZM, ZW, DE, RO,	GD, LC, NI, SY, ZW AM, DK, SE,
			TD,		BF,	BJ,	CF,	CG,	C1,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,
CA US	20042 25339 20052 16513	990 2561		A1 AA A1 A2		2005 2005 2005 2006	0217 1117	í	CA 20 US 20	004- 004-	2533 9157	990 22		20	00400 00400 00400	309 309	
D.	R:	AT,	BE,	CH,	DE,	DK,	ES, TR,	FR,	GB,	GR,	IT,	LI,	LU,				
PRIORITY	APPI				,	- •	,	1	1	US 20 WO 20	003-	1934	97P			00308 00408	

OTHER SOURCE(S): MARPAT 142:233301

AB Preferred aspects of the present invention relate to the inhibition of intracellular protein trafficking pathways through selective pharmacol. down-regulation of specific resident ER and golgi proteins, and more particularly, to methods of treating a variety of disease conditions, which depend on these intracellular protein trafficking pathways.

IT 675199-95-8 675199-97-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(selective pharmacol. inhibition of protein trafficking and related methods of treating human diseases)

RN 675199-95-8 CAPLUS

CN Cyclohexanecarboxamide, N-[4-[6-[(cyclohexylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 675199-97-0 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[4-[6-[(tricyclo[3.3.1.13,7]dec-1-ylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]- (9CI) (CA INDEX NAME)

L4 · ANSWER 8 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:414631 CAPLUS

DOCUMENT NUMBER:

140:423660

TITLE:

Preparation of thieno[3,2-c]pyridines and related

compounds as antiinflammatory agents

INVENTOR(S):

Burkitt, Simon A.; Cardozo, Mario G.; Cushing, Timothy D.; DeGraffenreid, Michael R.; Farthing, Christopher N.; Hao, Xiaolin; Jaen, Juan C.; Jiao, Xian Yun; Kopecky, David J.; Labelle, Marc; Lively, Sarah E.;

McMinn, Dustin L.; Rasmussen, Sven P.; Shin, Youngsook; Smith, Andrew; Smith, Marie-Louise

PATENT ASSIGNEE(S):

SOURCE:

Tularik Inc., USA U.S. Pat. Appl. Publ., 70 pp.

CODEN: USXXCO

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE				
US 2004097485 CA 2502429	A1 20040520 AA 20040521	US 2003-666857 CA 2003-2502429	20030919 20030919				
WO 2004041285	A1 20040521	WO 2003-US29143	20030919				
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY, B2	Z, CA, CH, CN,				
CO, CR, CU,	CZ, DE, DK, DM,	DZ, EC, EE, ES, FI, GE	B, GD, GE, GH,				
GM, HR, HU,	ID, IL, IN, IS,	JP, KE, KG, KP, KR, KZ	Z, LC, LK, LR,				
LS, LT, LU,	LV, MA, MD, MG,	MK, MN, MW, MX, MZ, NI	I, NO, NZ, OM,				
		SD, SE, SG, SK, SL, SY					
		VC, VN, YU, ZA, ZM, ZV					
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM, ZV	V, AM, AZ, BY,				
		BE, BG, CH, CY, CZ, DE					
FI, FR, GB,	GR, HU, IE, IT,	LU, MC, NL, PT, RO, SE	E, SI, SK, TR,				
BF, BJ, CF,	CG, CI, CM, GA,	GN, GQ, GW, ML, MR, NE	E, SN, TD, TG				
		AU 2003-270701					
EP 1556053		EP 2003-752410					
		GB, GR, IT, LI, LU, NI					
		CY, AL, TR, BG, CZ, EF					
JP 2006512313	T2 20060413						
PRIORITY APPLN. INFO.:		US 2002-422531P					
		WO 2003-US29143	W 20030919				
OTHER SOURCE(S):	MARPAT 140:4236	60					

GΙ

AB The invention relates to title fused heterobicyclic compds. QLWR1R2 (I) [wherein W = 5-6, 6-6, or 5-5 fused bicyclic ring system wherein one or both rings are aromatic, containing N and 0-3 addnl. N, O, or S; Rl = carbamoyl,

acyl, hydroxyiminomethyl, acylamino, sulfamoyl, heteroaryl, etc.; R2 = (un) substituted amino, heterocyclyl, OH; L = bond, alkylene, CO, CONR3, SO2NR3, CR3=CR4, O, S, NR3; R3 and R4 = independently H, (cyclo)alkyl, (hetero)aryl(alkyl), heterocyclyl; Q = cycloalkyl, (cyclo)alkenyl, alkynyl, alkoxy, halo, (hetero)aryl, heterocyclyl; with provisos; and pharmaceutically acceptable salts, hydrates, solvates, or prodrugs thereof], which were prepared as inhibitors of IKK α and IKK β enzymes, mediators of TNF- $\!\alpha$ and IL-1 induced $I\kappa B$ phosphorylation and degradation For example, reaction of 2-bromo-7-cyano-4-(pmethoxybenzylamino)thieno[3,2-c]pyridine with concentrated H2SO4 gave 2-bromo-7-carboxamido-4-aminothieno[3,2-c]pyridine-H2SO4, which was coupled with 3,4,5-trimethoxybenzeneboronic acid in the presence of K2CO3 and PdCl2(dppf):DCM complex in DMF and H2O to afford II. All exemplified compds. inhibited recombinant, full-length IKK β enzyme with IC50 values of ${\le}10~\mu\text{M}\text{,}$ and selected compds. displayed IC50 values \leq 10 μ M against recombinant, full-length IRAK-1 and IRAK-4 enzymes. Thus, I and their pharmaceutical compns. are useful in the treatment of inflammatory, immunoregulatory, metabolic, infectious, and cell proliferative diseases or conditions (no data). 690635-34-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(IKK inhibitor; preparation of thieno[3,2-c]pyridines and related fused heterobicyclic compds. as antiinflammatory agents)

RN 690635-34-8 CAPLUS CN 1H-Imidazo[4,5-c]pv

1H-Imidazo[4,5-c]pyridine-7-carboxamide, 4-amino-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

IT

CRN 690635-33-7 CMF C13 H11 N5 O

CRN 76-05-1 CMF C2 H F3 O2

L4 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:252624 CAPLUS

DOCUMENT NUMBER:

140:303678

TITLE:

Preparation of imidazopyridines as modulators for the

IgE immune response in the treatment of allergic and

proliferative diseases

INVENTOR(S):

Sircar, Jagadish C.; Thomas, Richard J.; Richards,

Mark L.; Sinha, Anjana

PATENT ASSIGNEE(S):

Avanir Pharmaceuticals, USA

SOURCE:

PCT Int. Appl., 167 pp.

GI

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA.	TENT	NO.			KIND DATE				APPL	ICAT		DATE						
					A2 20040325 A3 20040826					WO 2	003-	US30		2	0030	912		
WO																		
	W:	ΑE,	AG,	ΑL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
							IS,											
							MG,											
							SK,											
							ZM,			-	-	•		•	·	•	•	
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY.	
•		KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE.	DK.	EE.	ES.	
							IE,											
•		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GO,	GW.	ML.	MR.	NE.	SN.	TD.	TG.	
CA	2498				ΑA		2004	0325		CA 2	003-	2498	,	21	0030	912		
AU	2003	2797:			A1 20040430													
					A1 20040617													
EP	1546	157			A2		2005	0629		EP 2	003-		20030912					
	R:						ES,											
							RO,										,	
BR	2003																	
											2003-825093							
					T2 2006					JP 2						0030		
PRIORITY																		
• •	- -			. •					US 2002-410761P WO 2003-US30962									
OTHER SO	OURCE	(S):			MARPAT 140:30367									. 21		112		

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Compds. I [A = H, halogen, R1NHCO; B = (A)n, R1NHCO, R1CONH; C = R2CONH, AΒ R2NHCO; L, M = H, (un) substituted alkyl or aryl, alkoxy, amino, alkylamino, halogen, hydroxy, nitro, cyano, trifluoromethyl, trifluoromethoxy, (un)substituted aminocarbonyl; n = 1-4; Q, T, X, Z = C, N (one of Q, T, X, Z is N); R = H, alkyl, benzyl, 4-fluorobenzyl, (dialkylamino)alkyl; R1, R2 = H, (un)substituted alkyl, cycloalkyl, Ph, naphthyl, heteroaryl] such as II are prepared as inhibitors of IgE-mediated immune response for the treatment of allergies (particularly asthma) and proliferative diseases such as cancer; I are also prepared to suppress cytokines and leukocytes. Amination of 2-chloro-3,5-dinitropyridine, reduction of the 3-nitro group with ammonium sulfide, addition of 4-nitrobenzaldehyde, reduction of the nitro groups by hydrogenation with palladium on carbon, and acylation of the free amines with cyclohexanecarbonyl chloride yields II. Compds. of the invention suppress the IgE immune response by 50% at concns. between 100 μM and 1 pM (no data). Methods for the preparation of the imidazopyridine invention compds. are also claimed.

ΙI

IT 675199-90-3P 675199-94-7P 675199-95-8P 675199-97-0P 675199-99-2P 675200-01-8P 675200-02-9P 675200-03-0P 675200-04-1P 675200-05-2P 675200-06-3P 675200-07-4P 675200-08-5P 675200-09-6P 675200-10-9P 675200-20-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(invention compound; preparation of imidazopyridines as modulators for the IgE-mediated immune response and for the suppression of cytokines and leukocytes in the treatment of asthma and proliferative diseases)

RN 675199-90-3 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[4-[4[(tricyclo[3.3.1.13,7]dec-1-ylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2yl]phenyl]- (9CI) (CA INDEX NAME)

RN 675199-94-7 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[4-(4-chloro-1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 675199-95-8 CAPLUS

CN Cyclohexanecarboxamide, N-[4-[6-[(cyclohexylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 675199-97-0 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[4-[6-[(tricyclo[3.3.1.13,7]dec-1-ylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 675199-99-2 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[4-[(cyclohexylcarbonyl)amino]phenyl]-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)

RN 675200-01-8 CAPLUS

CN Cycloheptanecarboxamide, N-[4-[6-[(cycloheptylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 675200-02-9 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[4-[(tricyclo[3.3.1.13,7]dec-1-ylcarbonyl)amino]phenyl]-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)

RN 675200-03-0 CAPLUS

CN Cyclohexanecarboxamide, N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 675200-04-1 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 675200-05-2 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-oxo-N-[4-[6-

[(tricyclo[3.3.1.13,7]dec-1-ylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 675200-06-3 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[4-(4,6-dichloro-1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 675200-07-4 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[4-[[(4-oxotricyclo[3.3.1.13,7]dec-1-yl)carbonyl]amino]phenyl]-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)

RN 675200-08-5 CAPLUS

CN Cyclohexanecarboxamide, N-[4-(4,6-dichloro-1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 675200-09-6 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-hydroxy-N-[4-[6-[(tricyclo[3.3.1.13,7]dec-1-ylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 675200-10-9 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[4-[[(4-hydroxytricyclo[3.3.1.13,7]dec-1-yl)carbonyl]amino]phenyl]-lH-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)

RN 675200-20-1 CAPLUS

CN Cyclohexanecarboxamide, N-[4-[6-[(cyclohexylcarbonyl)amino]-1H-imidazo[4,5-c]pyridin-2-yl]phenyl]-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 675199-95-8 CMF C26 H31 N5 O2

CM 2

CRN 75-75-2 CMF C H4 O3 S

IT 675200-25-6P 675200-26-7P 675200-27-8P

675200-28-9P 675200-29-0P 675200-30-3P

675200-31-4P 675200-32-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazopyridines as modulators for the IgE-mediated immune response and for the suppression of cytokines and leukocytes in the treatment of asthma and proliferative diseases)

RN 675200-25-6 CAPLUS

CN Cyclohexanecarboxamide, N-[2-(4-nitrophenyl)-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)

RN 675200-26-7 CAPLUS

CN Cyclohexanecarboxamide, N-[2-(4-aminophenyl)-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)

RN 675200-27-8 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[2-(4-nitrophenyl)-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)

RN 675200-28-9 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-[2-(4-aminophenyl)-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)

$$H_2N$$
 N
 N
 N
 N

RN 675200-29-0 CAPLUS

CN Cycloheptanecarboxamide, N-[2-(4-nitrophenyl)-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
O & H & NO2 \\
\hline
C & NH & N & N
\end{array}$$

RN 675200-30-3 CAPLUS

CN Cycloheptanecarboxamide, N-[2-(4-aminophenyl)-1H-imidazo[4,5-c]pyridin-6-

RN 675200-31-4 CAPLUS

RN 675200-32-5 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-(4-aminophenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-(9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

PATENT ASSIGNEE(S):

2004:41604 CAPLUS

DOCUMENT NUMBER:

140:105238

TITLE:

Antibacterial inhibitors of Ftsz protein

INVENTOR(S):

White, Lucile E.; Reynolds, Robert C.; Suling, William

Southern Research Institute, USA

SOURCE:

PCT Int. Appl., 117 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

TANCHACE.

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	ΓENT	NO.			KIND		DATE			APPL	ICAT								
	2004 2004		-		A2 A3		2004 2004		,	WO 2	003-	US20	984		20030702				
	W:						AU,												
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,		
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NI,	NO,	NZ,	OM,				
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN,		
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW					
	RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	ŪG,	ZM,	ZW,	AM,	AZ,	BY,		
		KG,	ΚŻ,	MD,	RU,	ТJ,	TM,	ΑT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,		
		FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,		
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
CA	2491								CA 2003-2491680										
ΑU	2003	2813	40					0123		AU 2003-281340					20030702				

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EP 1538907
                                 20050615
                                             EP 2003-756780
                          A2
                                                                     20030702
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2005535662
                          T2
                                 20051124
                                             JP 2004-519843
                                                                     20030702
     US 2006241103
                          A1
                                 20061026
                                             US 2005-519731
                                                                     20050705
PRIORITY APPLN. INFO.:
                                             US 2002-393680P
                                                                 P
                                                                    20020702
                                             WO 2003-US20984
                                                                 W
                                                                    20030702
OTHER SOURCE(S):
                         MARPAT 140:105238
     The invention relates to inhibitors of FtsZ polymerization and uses thereof.
AB
ΙT
     109182-47-0 109182-53-8 109182-54-9
     109182-69-6 109182-73-2 109217-57-4
     646072-86-8 646072-87-9 646072-90-4
     646072-91-5 646072-92-6 646072-93-7
     646072-94-8 646072-97-1 646072-99-3
     646073-00-9 646073-01-0 646073-02-1
     646073-03-2 646073-24-7 646073-49-6
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (inhibitors of ftsz and uses thereof)
RN
     109182-47-0 CAPLUS
CN
     Carbamic acid, (4-chloro-2-phenyl-1H-imidazo[4,5-c]pyridin-6-yl)-, ethyl
     ester (9CI) (CA INDEX NAME)
```

RN 109182-53-8 CAPLUS
CN Carbamic acid, [4-[(diphenylmethyl)amino]-2-phenyl-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 109182-54-9 CAPLUS
CN Carbamic acid, [4-[(diphenylmethyl)amino]-2-(4-methoxyphenyl)-1Himidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 109182-69-6 CAPLUS

CN Carbamic acid, (4-amino-2-phenyl-1H-imidazo[4,5-c]pyridin-6-yl)-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & H & H & Ph \\ \hline \\ EtO-C-NH & N & N & N \\ \hline \\ NH_2 & & N \end{array}$$

RN 109182-73-2 CAPLUS

CN Carbamic acid, [4-amino-2-(4-hydroxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 109217-57-4 CAPLUS

CN Carbamic acid, [4-amino-2-(4-methoxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

EtO-C-NH
$$\frac{H}{N}$$
 $\frac{H}{N}$ OMe

RN 646072-86-8 CAPLUS

CN Carbamic acid, [4-[methyl(phenylmethyl)amino]-2-phenyl-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 646072-87-9 CAPLUS

CN Carbamic acid, [2-(4-chlorophenyl)-4-[(2-phenylethyl)(phenylmethyl)amino]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CH}_2\text{-Ph} \\ \text{Ph-CH}_2\text{-CH}_2\text{-N} \\ \text{O} \\ \text{EtO-C-NH} \end{array}$$

RN 646072-90-4 CAPLUS

CN Carbamic acid, [4-(diethylamino)-2-(4-methylphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 646072-91-5 CAPLUS

CN Carbamic acid, [2-(4-nitrophenyl)-4-[(2-phenylethyl) (phenylmethyl) amino]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 646072-92-6 CAPLUS

CN Carbamic acid, [2-(4-phenoxyphenyl)-4-[(2-phenylethyl)(phenylmethyl)amino]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 646072-93-7 CAPLUS

CN Carbamic acid, [2-(4-methoxyphenyl)-4-[(2-phenylethyl)(phenylmethyl)amino]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 646072-94-8 CAPLUS

CN Carbamic acid, [2-(4-ethylphenyl)-4-[(2-phenylethyl) (phenylmethyl) amino]lH-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 646072-97-1 CAPLUS

CN Carbamic acid, [2-(2-fluorophenyl)-4-[(2-phenylethyl)(phenylmethyl)amino]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 646072-99-3 CAPLUS

CN Carbamic acid, [4-[(2-phenylethyl)(phenylmethyl)amino]-2-(3,4,5trimethoxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 646073-00-9 CAPLUS

CN Carbamic acid, [4-[(2-phenylethyl)(phenylmethyl)amino]-2-(2,4,5-trimethoxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CAINDEX NAME)

RN 646073-01-0 CAPLUS

CN Carbamic acid, [2-(2,5-dimethoxyphenyl)-4-[(2-phenylethyl)(phenylmethyl)amino]-1H-imidazo[4,5-c]pyridin-6-yl]- (9CI) (CA INDEX NAME)

RN 646073-02-1 CAPLUS

CN Carbamic acid, [2-phenyl-4-[(2-phenylethyl)(phenylmethyl)amino]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 646073-03-2 CAPLUS

CN Carbamic acid, [4-[(2-phenylethyl)(phenylmethyl)amino]-2-[4-(trifluoromethyl)phenyl]-lH-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 646073-24-7 CAPLUS

CN Carbamic acid, [2-(4-methylphenyl)-4-[(2-phenylethyl)(phenylmethyl)amino]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CH}_2\text{-Ph} \\ \text{Ph-CH}_2\text{-CH}_2\text{-N} \\ \text{N} \\ \text{EtO-C-NH} \end{array}$$

RN 646073-49-6 CAPLUS

CN Carbamic acid, [2-(3-methylphenyl)-4-[[3-phenyl-1-(phenylmethyl)propyl]amino]-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:117561 CAPLUS

DOCUMENT NUMBER:

138:163512

TITLE:

Mediators of hedgehog signaling pathways,

compositions, and uses related thereto

INVENTOR(S):

Rubin, Lee; Guicherit, Oivin M.; Price, Stephen; Boyd,

Edward A.

PATENT ASSIGNEE(S):

Curis, Inc., USA

SOURCE:

PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	rent :	NO.			KIND DATE			APPLICATION NO.						DATE					
	2003 2003						2003 2004			WO 20	002-	US24		2	0020	729			
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
							DK,												
							IN,												
							MD,												
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,		
		UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW									
	RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,		
							TM,												
		FI,	FR,	GB,	GR,	ΙĖ,	ΙT,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	BF,	ВJ,	CF,		
		CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG					
CA	2455	100			AA		2003	0213	1	CA 20	002-	2455	20020729						
ΕP	1482	928			A2		2004	1208		EP 20	002-	7633	33		2	0020	729		
	R:	AT,	ΒĖ,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,		
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG								BG,	CZ,	EE,	SK							
JΡ	2005	5078	60						JP 20	003-	5164	20020729							
US	2005	0855	19		A1 20050421				US 20	003-	4849	45		20020729					
CN	1638	765			Α	20050713			1	CN 2002-818679					20020729				
BR	2002	0115	13		Α					BR 2002-11513						20020729			

NO 2004000342	Α	20040326	NΙΟ	2004-342		20040126
NO 2004000342	A	20040320	NO	2004-342		20040126
ZA 2004000582	Α	20050714	ZA	2004-582		20040126
PRIORITY APPLN. INFO.:			US	2001-308449P	P	20010727
			US	2001-338031P	Р	20011113
			WO	2002-[1524073	W	20020729

OTHER SOURCE(S):

MARPAT 138:163512

Ι

GΙ

The invention provides methods and reagents for inhibiting aberrant growth states resulting from hedgehog gain-of-function, ptc loss-of-function or smoothened gain-of-function, comprising contacting the cell with a hedgehog antagonist, such as a small mol., in a sufficient amount to aberrant growth state, e.g., to agonize a normal ptc pathway or antagonize smoothened or hedgehog activity. Preparation and testing of a variety of heterocyclic compds. is included. The effect of benzimidazole derivative I on a variety of tumor cells (e.g. basal cell carcinoma) was determined

IT 496793-97-6 496793-98-7 496794-64-0 496794-66-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(hedgehog signaling pathway mediators, compns., and uses)

RN 496793-97-6 CAPLUS

CN Benzamide, N-[4-chloro-3-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-3,5-dimethoxy- (9CI) (CA INDEX NAME)

RN 496793-98-7 CAPLUS

CN 1,4-Benzodioxin-6-carboxamide, N-[4-chloro-3-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 496794-64-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-chloro-3-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 496794-66-2 CAPLUS

CN Propanamide, N-[4-chloro-3-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2001:923797 CAPLUS

DOCUMENT NUMBER:

136:53746

TITLE:

Preparation of 6,5-fused bicyclic heterocycles as

15-lipoxygenase inhibitors

INVENTOR(S):

Picard, Joseph Armand; Roark, William Howard;

Sliskovic, Drago Robert

PATENT ASSIGNEE(S):

Warner-Lambert Company, USA

SOURCE:

PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PA:	rent	NO.			KIN	D	DATE					ION			D	ATE	
	2001								. 1				112		2	0010	509
WO	2001	0963	36		A3		2002	0328									
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	PL,	PT,
							SI,									UG,	US,
							AM,										
	RW:						MZ,										
							GB,									TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG		
CA	2412	462			AA		2001	1220		CA 2	001-	2412	462		2	0010	509
EΡ	1294	718			A2		2003	0326		EP 2	001-	9332	69		2	0010	509
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
BR	2001	0115	44		Α		2003	0701		BR 2	001-	1154	4		2	0010	509

JP 2004503553	Т2	20040205	JP	2002-510478		20010509
US 2004014759	A1	20040122	US	2003-362353		20030221
US 6943174	B2	20050913				
PRIORITY APPLN. INFO.:			US	2000-211761P	P	20000614
			WO	2001-US15112	W	20010509

OTHER SOURCE(S):

MARPAT 136:53746

G]

The title compds. [I; Q1-Q4 = CX, N; one or two of Q1-Q4 = N; or each of AB Q1-Q4 = CH2 and there is a C=C bond between the carbon atoms bearing Q1 and Y1, and Q4 and Z, resp.; X = H, halo, OH, etc.; one of Y1 and Y2 = CH, N, NH, S, O; and the other one of Y1 and Y2 = CWAr (wherein W = a bond, O, S, etc.; Ar = Ph substituted at the 3- and 4-positions relative to W); Z = NR5, S, O, C, CH (R5 = H, alkyloxycarbonyl, aryloxycarbonyl, etc.)], useful for the treatment of diseases responsive to the inhibition of the enzyme 15-lipoxygenase such as diseases with an inflammatory component, including atherosclerosis, diseases involving chemotaxis of monocytes, inflammation, stroke, coronary artery disease, asthma, arthritis, colorectal cancer, and psoriasis, were prepared and formulated. reacting 2,3-diaminopyridine with 4-methoxy-3-nitrobenzoic acid in the presence of POC13 followed by reduction of the resulting 5-(3H-imidazo[4,5b]pyridin-2-yl)-2-methoxynitrobenzene with Zn/AcOH afforded II which showed 39% inhibition of 15-LO at 10 µM in human lysate 15-LO assay. ΙT 381249-61-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 6,5-fused bicyclic heterocycles as 15-lipoxygenase inhibitors)

RN 381249-61-2 CAPLUS

CN Urea, [5-(1H-imidazo[4,5-c]pyridin-2-yl)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

$$H_2N-C-NH$$
 N
 N
 N
 N

L4 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:409327 CAPLUS

DOCUMENT NUMBER: 121:9327

TITLE: Synthesis and pharmacological properties of BW315C and

other inotropic 2-arylimidazo[1,2-a]pyrazines

AUTHOR(S): Barraclough, Paul; Black, James W.; Cambridge, David; Gerskowitch, V. Paul; Giles, Heather; Glen, Robert C.;

Hull, Robert A. D.; Iyer, Ramachandran; King, W.

Richard; et al.

CORPORATE SOURCE: Dep. Med. Chem., Wellcome Res. Lab., Beckenham/Kent,

BR3 3BS, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (1993), 3(4),

509-14

CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE:

Journal English

LANGUAGE:
OTHER SOURCE(S):

CAŚREACT 121:9327

GΙ

AB A series of 2-arylimidazo[1,2-a]pyrazines I (X = SOMe, CONH2, O3SMe; R = H, OMe) has been prepared and evaluated for inotropic activity. I (X = SOMe, R = OMe) (BW315C) displayed potent inotropic effects having comparable in vitro and and in vivo inotropic potencies to those of isomazole. Structure-activity relationships are discussed.

IT 130179-73-6

RL: RCT (Reactant); RACT (Reactant or reagent)
 (inotropic activity of)

Ι

RN 130179-73-6 CAPLUS

CN Benzamide, 4-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ \parallel \\ C-NH_2 \end{array}$$

L4 ANSWER. 14 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:571309 CAPLUS

DOCUMENT NUMBER:

117:171309

TITLE:

Inotropic 2-arylimidazo[1,2-a]pyrimidines

AUTHOR(S):

Barraclough, P.; Black, J. W.; Cambridge, D.; Capon, E.; Cox, M. R.; Firmin, D.; Gerskowitch, V. P.; Giles,

H.; Glen, R. C.; et al.

CORPORATE SOURCE:

Dep. Med. Chem., Wellcome Res. Lab., Beckenham/Kent,

BR3 3BS, UK

SOURCE:

European Journal of Medicinal Chemistry (1992), 27(3),

207-17

CODEN: EJMCA5; ISSN: 0223-5234

DOCUMENT TYPE:

Journal

LANGUAGE:

English

GΙ

$$\mathbb{R}$$

AB A series of 2-arylimidazo[1,2-a]pyrimidines were prepared and evaluated for inotropic activity. Thus, 2-aminopyrimidine was treated with 2,4-(MeO)2C6H3COCH2Br to give 52% I (R = MeO). Three of these heterocycles I (R = MeO, MeS, MeSO3) displayed more potent inotropic effects in vitro than isomazole. The in vivo inotropic potencies of I (R = MeSO3, NH2CO) were similar to those of isomazole and sulmazole resp. The effects of some 'A' and 'C' ring substituents on the inotropic activities of the imidazo[1,2-a]pyrimidines were different from those on the imidazopyridines. Nevertheless the inotropic potencies of several imidazo[1,2-a]pyrimidines were closed to those of their lH-imidazo[4,5-b]pyridine isomers than to those of the corresponding isomazole analogs. Structure-activity relationships are discussed in detail.

IT 130179-73-6

RL: RCT (Reactant); RACT (Reactant or reagent)
 (inotropic activity of)

Ι

RN 130179-73-6 CAPLUS

CN Benzamide, 4-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ \parallel \\ C-NH_2 \\ \hline \\ N \\ OMe \\ \end{array}$$

L4 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:583176 CAPLUS

DOCUMENT NUMBER: 115:183176

TITLE: 2-Phenyl-3H-imidazo[4,5-b]pyridine-3-acetamides as

nonbenzodiazepine anticonvulsants and anxiolytics Tomczuk, Bruce E.; Taylor, C. R., Jr.; Moses, L. Meredith; Sutherland, Deborah B.; Lo, Young S.;

Johnson, David N.; Kinnier, William B.; Kilpatrick,

Brian F.

CORPORATE SOURCE: Dep. Chem. Res., A. H. Robbins Co., Richmond, VA,

23261-6609, USA

SOURCE: Journal of Medicinal Chemistry (1991), 34(10),

2993-3006

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 115:183176

GI

AUTHOR (S):

AΒ A series of 2-phenyl-3H-imidazo[4,5-b]pyridine-3-acetamides e.g. I [R = H,R1 = 4-C1C6H4, R2 = H (II); R = Me, R1 = 4-MeC6H4, R2 = C1 (III)] were designed and synthesized as nonbenzodiazepine anxiolytics based on a mol. disconnection of a typical 1,4-benzodiazepine (BZD). A number of these compds. showed submicromolar potency in a [3H]benzodiazepine binding assay in vitro and good potency in protecting rodents against pentylenetetrazole-induced seizures. II appears to be a selective anticonvulsant (pentylenetetrazole) agent when tested against a profile of chemical and elec. induced seizures in mice. In addition, III appears to be a selective anxiolytic/hypnotic agent on the basis of biochem. and pharmacol. characterization. It appears to be a full BZD agonist as assessed by GABA shift ratio and to be effective in punishment and nonpunishment animal models of anxiety. In addition, it shows a lower side-effect profile than diazepam as assessed by rotored neurotoxicity and potentiation of ethanol-induced sleep time in mice. The chemical and structure-activity relationships of this series is discussed.

IT 135429-05-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and anticonvulsant and anxiolytic activity of)

RN 135429-05-9 CAPLUS

CN 3H-Imidazo[4,5-c]pyridine-3-acetamide, 2-(4-chlorophenyl)-N,N-dimethyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

L4 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:516282 CAPLUS

DOCUMENT NUMBER: 115:116282

TITLE: Heterocyclic X-azolopyridine intermediates

AUTHOR(S): Viscardi, Guido; Savarino, Piero; Barni, Ermanno;

Carpignano, Rosarina

CORPORATE SOURCE: Dip. Chim. Gen. Org. Appl., Univ. Torino, Turin,

10125, Italy

SOURCE: Journal of Heterocyclic Chemistry (1990), 27(6),

1825-9

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

GΙ

AB A series of heterocyclic coupling agents with tuned hydrophobic chains, (I; R = H, Ac, octanoyl; R' = H, Ac; X = O, NH; Y = N, CH; Z = CH, N) was prepared by reaction of diamino- or hydroxyaminopyridines with p-aminosalicylic acid. The acetylation of amino group and hydroxyl in oxazole derivs. showed a selectivity depending on whether Ac20 or AcCl was used. Correlations between structure and spectroscopic data, including related compds. previously described, were reported.

131986-01-1P 133958-92-6P TΤ

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as coupling components for azo dyes)

Ι

RN 131986-01-1 CAPLUS

Acetamide, N-[3-hydroxy-4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) CN(CA INDEX NAME)

RN 133958-92-6 CAPLUS

Octanamide, N-[3-hydroxy-4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) CN (CA INDEX NAME)

ANSWER 17 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:249282 CAPLUS

DOCUMENT NUMBER: 114:249282

TITLE:

Highly aggregated heterocyclic azo dyes AUTHOR(S): Viscardi, Guido; Savarino, Piero; Barni, Ermanno;

Novaria, Mario

CORPORATE SOURCE: Dip. Chim. Gen. Org. Appl., Univ. Turin, Turin, 10125,

Italy

SOURCE: Annali di Chimica (Rome, Italy) (1990), 80(11-12),

503-14

CODEN: ANCRAI; ISSN: 0003-4592

DOCUMENT TYPE: Journal

LANGUAGE: English

GΙ

$$N = N - R1$$

$$N = N - R1$$

$$N = N + R1$$

$$N = N + R1$$

AB Azo dyes (I) were prepared where R = H, Ac, CO(CH2)6CH3; R1 = CN, 6-methyl-2-benzothiazolyl; X = 0, NH; Y = CH, N; and Z = N, CH. I had a strong tendency to aggregate and the X heteroatom had no effect on aggregation. I (R = Ac) aggregated slightly less than I (R = H). I (R1 = CN) aggregated less than I (R1 = 6-methyl-2-benzothiazolyl).

Ι

IT 134098-52-5P 134098-53-6P 134098-58-1P

134121-13-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (dye, preparation and aggregation of)

RN 134098-52-5 CAPLUS

CN Acetamide, N-[2-[(4-cyanophenyl)azo]-5-hydroxy-4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 134098-53-6 CAPLUS

CN Octanamide, N-[2-[(4-cyanophenyl)azo]-5-hydroxy-4-(1H-imidazo[4,5-c]pyridin-2-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 134098-58-1 CAPLUS

CN Acetamide, N-[5-hydroxy-4-(1H-imidazo[4,5-c]pyridin-2-yl)-2-[[4-(6-methyl-2-benzothiazolyl)phenyl]azo]phenyl]- (9CI) (CA INDEX NAME)

RN 134121-13-4 CAPLUS

L4ANSWER 18 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1990:591241 CAPLUS

DOCUMENT NUMBER: 113:191241

TITLE: Cardiotonic C ring modified isomazole analogs

AUTHOR(S): Barraclough, Paul; Black, James W.; Cambridge, David;

> Demaine, Derek A.; Gerskowitch, V. Paul; Giles, Heather; Hill, Alan P.; Hull, Robert A. D.; Lyer,

Ramachandran; et al.

CORPORATE SOURCE: Dep. Med. Chem., Wellcome Res. Lab., Beckenham/Kent,

BR3 3BS, UK

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1990),

323(8), 507-12

CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

$$\begin{array}{c|c} & H & H & \\ \hline & A & B & N \\ \hline & & C & CONH_2 \\ \hline & OMe & I \\ \hline \end{array}$$

AΒ Isomazole analogs, e.g., I, which have achiral electron withdrawing substituents at the 4'-position and analogs with heterocyclic 'C' rings were prepared and evaluated as inotropic agents. Pyridyl could replace Ph in the 'C' ring without loss of activity. The 4'-methylsulfonyl, -cyano, -carboxamido, and acetyl analogs had similar inotropic potencies to Isomazole while displaying superior cardiovascular profiles in in vivo studies.

ΙT 130179-73-6

> RL: RCT (Reactant); RACT (Reactant or reagent) (inotropic activity of)

RN 130179-73-6 CAPLUS

CN Benzamide, 4-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy- (9CI) (CA INDEX NAME)

IT 130179-79-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 130179-79-2 CAPLUS

CN Benzamide, 4-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

L4 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1990:591240 CAPLUS

DOCUMENT NUMBER:

113:191240

TITLE:

Inotropic activities of imidazopyridines

AUTHOR(S):

Barraclough, Paul; Black, James W.; Cambridge, David;

Gerskowitch, V. Paul; Hull, Robert A. D.; Lyer, Ramachandran; King, W. Richard; Kneen, Clare O.;

Nobbs, Malcolm S.; et al.

CORPORATE SOURCE:

Dep. Med. Chem., Wellcome Res. Lab., Beckenham/Kent,

BR3 3BS, UK

SOURCE:

Archiv der Pharmazie (Weinheim, Germany) (1990),

323(8), 501-5

CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE:

Journal

Ι

LANGUAGE:

English

GI

AB A series of 2-substituted lH-imidazo[4,5-b]pyridines, e.g., I, and the isomeric lH-imidazo[4,5-c]pyridine derivs. was prepared by, e.g., condensing 2,3-diaminopyridine with 2,4-(MeO)(NC)C6H3COCl, and evaluated as inotropic

agents. The 1H-imidazo-[4,5-b] derivs. were consistently more potent than their isomers in the [4,5-c] series in isolated guinea pig papillary muscle prepns. Structure-activity relationships and the species-dependence of inotropic potencies are discussed.

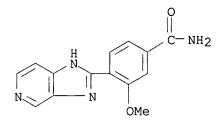
IT 130179-73-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(inotropic activity of)

130179-73-6 CAPLUS RN

Benzamide, 4-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy- (9CI) (CA INDEX CN



CAPLUS COPYRIGHT 2006 ACS on STN ANSWER 20 OF 25

ACCESSION NUMBER: 1990:452068 CAPLUS

DOCUMENT NUMBER: 113:52068

TITLE:

Synthesis and biological evaluation of a series of parenteral 3'-quaternary ammonium cephalosporins

Brown, Raymond F.; Kinnick, Michael D.; Morin, John

M., Jr.; Vasileff, Robert T.; Counter, Fred T.;

Davidson, Edward O.; Ensminger, Paul W.; Eudaly,

Judith A.; Kasher, Jeffrey S.; et al.

Lilly Corp. Cent., Eli Lilly and Co., Indianapolis, CORPORATE SOURCE:

IN, 46285, USA

Journal

Journal of Medicinal Chemistry (1990), 33(8), 2114-21 SOURCE:

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE:

AUTHOR(S):

LANGUAGE: English

OTHER SOURCE(S): CASREACT 113:52068

GT

AΒ The preparation and biol. evaluation of a series of 7β -[2-(2-aminothiazol-4-y1)-2(Z)-methoximinoacetamide]cephalosporins (I where R = e.g., pyridinyl, quinolinyl), substituted at the 3'-position with monocyclic or bicyclic nitrogen-containing heterocycles, are described. The resulting family of parenteral compds. displayed a broad spectrum of antibacterial activity. Some compds. exhibit a similar level of Gram-neg. activity to that of the "third-generation" cephalosporins with increased staphylococcal activity. The in vitro and in vivo antimicrobial activity, structure-activity relations, β -lactamase stability, and in vitro and in vivo pharmacol. evaluations are presented.

IT 98383-05-2P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antibacterial activity of)

RN 98383-05-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-

thiazolyl) (methoxyimino) acetyl] amino] -2-carboxy-8-oxo-5-thia-1azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2-phenyl-, inner salt, $[6R-[6\alpha,7\beta(Z)]]-(9CI)$ (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

ANSWER 21 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:204418 CAPLUS

DOCUMENT NUMBER:

108:204418

TITLE:

Preparation of 3-[(bicyclic

pyridinio)methyl]cephalosporins as antibiotics

INVENTOR(S):

Katner, Allen S.

PATENT ASSIGNEE(S):

Eli Lilly and Co., USA

SOURCE:

U.S., 20 pp. Cont.-in-part of U.S. Ser. No. 542,619,

abandoned.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4692443	A	19870908	US 1984-679717	19841210
GB 2148289	A1	19850530	GB 1984-25453	19841009
GB 2148289	B2	19870923		
ZA 8407926	Α	19860528	ZA 1984-7926	19841009
FI 8404000	Α	19850418	FI 1984-4000	19841011
ES 536728	A1	19851116	ES 1984-536728	19841011
CA 1225390	A1	19870811	CA 1984-465150	19841011
DK 8404891	A	19850418	DK.1984-4891	19841012
AU 8434189	A1	19850426	AU 1984-34189	19841012
AU 574107	B2	19880630		
SU 1360587	A3	19871215	SU 1984-3798239	19841012
JP 60105685	A2	19850611	JP 1984-219350	19841016
ни 35687	0	19850729	HU 1984-3865	19841016
ни 195512	В	19880530		
GB 2181136	A1	19870415	GB 1986-27171	19861113
GB 2181136	B2	19880525		
US 4748172	Α	19880531	US 1987-2091	19870112
PRIORITY APPLN. INFO.:			US 1983-542619	A2 19831017
			GB 1984-25453	A3 19841009

RNH
$$CO_{\overline{2}}$$
 $CH_{2}N$ $(CH)_{m}$ X R^{1}

AΒ Title compds. I [R = H, HCO, (protected)- α -aminoadipoyl, R''ONCR'CO; R' = 5-6-member aminoheterocyclyl; R'' = H, C1-4 alkyl, carboxy-substituted alkyl, -cycloalkyl, N-substituted carbamoyl; R1 = H, C1-4 alkyl, -alkoxy, -alkylthio, -alkanoylamino, -alkylamino, di-C1-4 alkylamino, H2N, thienyl, HOCO, Ph, etc; X = O, S; m, y = 0-3, provided m+ y = 3] and their salts, were prepared syn-7-[2-(2-Aminothiazol-4-yl)-2methoxyiminoacetamido]-3-acetoxymethyl-3-cephem-4-carboxylic acid in CH2Cl2 containing F3CCONMeSiMe3 (II) was warmed to 40°, sonicated and reacted with Me3SiI to give an oil, which, in MeCN and THF, was reacted with 1H-imidazolo[4,5-c]pyridine in MeCN containing II to give syn-7-[2-(2-aminothiazol-4-yl)-2-methyliminoacetamido]-3-(1H-imidazolo[4,5c]pyridinio-5-methyl)-3-cephemcarboxylate (III) which had min. inhibitory concentration of 1 µg/mL against Staphylococcus aureus, compared to 8 µg/mL for ceftazidime . A formulation for i.v. use comprised 1.0 g III and 100 mL 0.9% saline .

IT 98383-05-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as antibiotic)

RN 98383-05-2 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, $5-[[7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2-phenyl-, inner salt, [6R-[6<math>\alpha$,7 β (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L4 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1

1987:575945 CAPLUS

DOCUMENT NUMBER:

107:175945

TITLE:

Synthesis of potential anticancer agents:

imidazo[4,5-c]pyridines and imidazo[4,5-b]pyridines

AUTHOR(S): Temple, Carroll, Jr.; Rose, Jerry D.; Comber, Robert

N.; Rener, Gregory A.

CORPORATE SOURCE: Kettering-Meyer Lab., South. Res. Inst., Birmingham,

AL, 35255-5305, USA

SOURCE: Journal of Medicinal Chemistry (1987), 30(10), 1746-51

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 107:175945

GI

AB Imidazopyridines I [R = R1 = H; R2 = NHR3; R3 = Ph, Ph(CH2)n, n = 1,2,3; R = Ph, R1 = H, R2 = NH2] were prepared by the cyclization of triaminopyridines II with HC(OEt)3. Oxidative cyclization of 4,5- or 5,6-diaminopyridines with aromatic aldehydes gave imidazo[4,5-c]- and -[4,5-b]pyridine ring systems resp. The latter reaction with 6-(substituted amino)-4,5-diaminopyridines gave imidazo[4,5-c]pyridine ring analogs of Et 5-amino-3-phenyl-1,2-dihydropyrido[3,4-b]pyrazine-7-carbamate (III), which is a mitosis inhibitor with significant antitumor activity in mice. Biol. studies on the prepared compds. showed that they were less active than III and I (R = R1 H, R2 = NHCHPh2).

IT 109182-47-0P 109182-53-8P 109182-54-9P 109182-56-1P 109182-57-2P 109182-58-3P 109182-66-3P 109182-69-6P 109182-73-2P 109217-57-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antitumor activity of)

RN 109182-47-0 CAPLUS

CN Carbamic acid, (4-chloro-2-phenyl-1H-imidazo[4,5-c]pyridin-6-yl)-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & \\ \parallel & & \\ EtO-C-NH & & \\ N & & \\ \hline & N & \\ \hline & & \\ C1 & \\ \end{array}$$

RN 109182-53-8 CAPLUS

CN Carbamic acid, [4-[(diphenylmethyl)amino]-2-phenyl-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 109182-54-9 CAPLUS

CN Carbamic acid, [4-[(diphenylmethyl)amino]-2-(4-methoxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 109182-56-1 CAPLUS

CN Carbamic acid, [4-[(diphenylmethyl)amino]-2-(4-hydroxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 109182-57-2 CAPLUS

CN Carbamic acid, (4-amino-2-phenyl-1H-imidazo[4,5-c]pyridin-6-yl)-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 109182-58-3 CAPLUS

CN

Carbamic acid, [4-amino-2-(4-methoxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 109182-66-3 CAPLUS

CN Carbamic acid, [4-amino-2-(4-hydroxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 109182-69-6 CAPLUS

CN Carbamic acid, (4-amino-2-phenyl-1H-imidazo[4,5-c]pyridin-6-yl)-, ethyl ester (9CI) (CA INDEX NAME)

EtO-C-NH.
$$H$$
 Ph

RN 109182-73-2 CAPLUS

CN Carbamic acid, [4-amino-2-(4-hydroxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 109217-57-4 CAPLUS

CN Carbamic acid, [4-amino-2-(4-methoxyphenyl)-1H-imidazo[4,5-c]pyridin-6-yl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & H & H \\ \hline EtO-C-NH & H & N \\ \hline N & N & OMe \\ \hline NH_2 & \\ \end{array}$$

IT 109182-50-5P

RN 109182-50-5 CAPLUS

CN Carbamic acid, (4-amino-7-nitro-2-phenyl-1H-imidazo[4,5-c]pyridin-6-yl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1987:156466 CAPLUS

DOCUMENT NUMBER:

106:156466

TITLE:

Preparation of 2-arylimidazole derivatives as

cardiovasclar agents

INVENTOR(S):

Mueller, Erich; Hauel, Norbert; Noll, Klaus; Narr, Berthold; Heider, Joachim; Psiorz, Manfred; Bomhard,

Andreas; Meel, Van Jacques; Diederen, Willi

PATENT ASSIGNEE(S):

Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.

SOURCE:

Ger. Offen., 29 pp.

_ _ _

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
DE 3522230 EP 209707 EP 209707	A1 1987010 A2 1987012 A3 1989020	8 EP 1986-107969	19850621 19860611
R: AT, BE, CH, FI 8602623 DK 8602909	A 1986122 A 1986122	2 DK 1986-2909	19860619 19860620
NO 8602477 AU 8658932 JP 62000471 HU 42452	A 1986122 A1 1986122 A2 1987010 A2 1987072	4 AU 1986-58932 6 JP 1986-144658	19860620 19860620 19860620 19860620
ES 556338 ZA 8604602	A1 1987120 A 1988022	1 ES 1986-556338	19860620 19860620 19860620

ES 557240 A1 19870516 ES 1986-557240 19861204 ES 557241 Α1 19870516 ES 1986-557241 19861204 PRIORITY APPLN. INFO.: DE 1985-3522230 A 19850621

CASREACT 106:156466; MARPAT 106:156466 OTHER SOURCE(S):

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R1 = H, alkyl; R2 = (substituted) Ph, naphthyl; A = fused (substituted) naphtho, benzo, pyrido, pyrimido ring] were prepared as cardiovascular agents. 2,4-MeO(MeSO2NH)C6H3CO2H cyclocondensed with 3,4-(H2N)2C6H3CN to give phenylbenzimidazolecarbonitrile II. In cats 0.6 mg II/kg i.v. reduced blood pressure 40 mm Hg.

ΙT 107254-33-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as antihypertensive and antithrombotic)

107254-33-1 CAPLUS RN

Carbamic acid, [4-(1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxyphenyl]-, CN methyl ester (9CI) (CA INDEX NAME)

ANSWER 24 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1986:5871 CAPLUS

DOCUMENT NUMBER:

104:5871

TITLE:

2-Phenylimidazoles and a drug containing these

compounds

INVENTOR(S):

Austel, Volkhard; Heider, Joachim; Hauel, Norbert; Reiffen, Manfred; Nickl, Josef; Van Meel, Jakobus C.

A.; Diederen, Willi

PATENT ASSIGNEE(S):

Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.

SOURCE:

Ger. Offen., 66 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent German

1

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
DE 3347290	A1 19850711	ES 1984-537992	19831228
ES 537992	A1 19851101		19841127
DK 8406102 EP 149200 R: AT, BE, CH	A 19850629 A1 19850724 , DE, FR, GB, IT,		19841219 19841220
US 4722929	A 19880202	2 US 1984-684052	19841220
JP 60172980	A2 19850906		19841225
FI 8405117	A 19850629	NO 1984-5252	19841227
NO 8405252	A 19850703		19841227
DD 231355	A5 19851224	B HU 1984-4843	19841227
HU 37618	A2 19860123		19841227
ZA 8410057	A 19860924		19841227
AU 8437211	A1 19850704	AU 1984-37211	19841228
ES 543082	A1 19860103		19850513
ES 543083	A1 19860103	ES 1985-543083	19850513
ES 543084	A1 19860103		19850513
ES 543085	A1 19860103		19850513
ES 543086	A1 19860103		19850513

PRIORITY APPLN. INFO .:

DE 1983-3347290

19831228

OTHER SOURCE(S):

CASREACT 104:5871

AB Fused-ring imidazoles I [1-3 of X-X3 = R4N, the remainder = CO, R5C; R4 = H, alkyl; R5 = alkoxy, PhCH2O, HO, halo; R1 = alkyl, alkoxy, PhCH2O, R6S(O)n, halo, amino, NO2, CO2H, alkanamido, acyl (e.g., cyano, carbamoyl, sulfamoyl, alkoxycarbonyl); R2, R3 = H, alkyl, alkoxy, OH, R6S(O)n, amino, halo, NO2, alkanamido, acyl; R6 = alkyl; n = O-2] were prepared Thus, 2,4-(MeO)(MeS)C6H3CO2H and 4,5-diamino-3(2H)-pyridazinone were heated 90 min at 100-110° in polyphosphoric acid to give 12% imidazopyridazinone II. In cats 2.0 mg II/kg gave a 72% increase in the heart contractility parameter and increased arterial blood pressure 10%.

ΙT 99445-95-1P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as antihypotensive and inotropic agent)

RN 99445-95-1 CAPLUS

CN Benzamide, 4-(4,5-dihydro-4-oxo-1H-imidazo[4,5-c]pyridin-2-yl)-3-methoxy-(CA INDEX NAME) (9CI)

$$\begin{array}{c|c} & & & \\ &$$

ANSWER 25 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1985:541739 CAPLUS

DOCUMENT NUMBER: 103:141739

TITLE: 3-[(Bicyclic pyridinio)methyl]cephalosporins

Katner, Allen Samuel INVENTOR(S): PATENT ASSIGNEE(S): Eli Lilly and Co., USA SOURCE: Eur. Pat. Appl., 78 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ED 130553		10050424	ED 1004 20006	10041000
EP 138552 EP 138552	· A2 A3	19850424 19860319	EP 1984-306866	19841009

	R: AT	, BE,	CH,	DE,	FR, GB, IT,	LI, L	J, NL, SE		
GB	2148289		-	A1	19850530	GB	1984-25453		19841009
GB	2148289			В2	19870923				
ZA	8407926			Α	19860528	ZA	1984-7926		19841009
FI	8404000			Α	19850418	FI	1984-4000		19841011
ES	536728			A1	19851116	ES	1984-536728		19841011
CA	1225390			A1	19870811	CA	1984-465150	,	19841011
DK	8404891			Α	19850418	DK	1984-4891		19841012
AU	8434189			A1	19850426	AU	1984-34189		19841012
AU	574107			В2	19880630				
SU	1360587			A3	19871215	SU	1984-3798239		19841012
JP	6010568	5		A2	19850611	JP	1984-219350		19841016
HU	35687			0	19850729	HU	1984-3865		19841016
HU	195512			В	19880530				
GB	2181136			A1	19870415	GB	1986-27171		19861113
GB	2181136			B2	19880525				
PRIORIT	Y APPLN.	INFO	.:			US	1983-542619	A	19831017
						GB	1984-25453	A3	19841009
GI									

Ι

RNH
$$S$$
 $(CH_2)_m$ N R^1 CH_2N $+$ $(CH_2)_n$

$$\begin{cases} S & H_2 \\ N & CH_2 \\ CO_2 & H_2 \\ \end{pmatrix}$$

AB Cephalosporins I (R = H, acyl; Rl = H, alkyl, Ph, thienyl, NH2, acylamino; X = O, S, NH, alkylimino; m, n = 0-3; m + n = 3) were prepared. Thus, cephem II (R2 = OAc) was iodinated and treated with the imidazopyridine to give III which had a min. inhibitory concentration against Staphylococcus aureus X1.1

of 1 μ g/mL.

IT 98383-05-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and bactericidal activity of)

RN 98383-05-2 CAPLUS

CN lH-Imidazo[4,5-c]pyridinium, 5-[[7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-2-phenyl-, inner salt, [6R-[6 α ,7 β (Z)]]- (9CI) (CA INDEX NAME)

III

Absolute stereochemistry. Double bond geometry as shown.

=> FIL STNGUIDE COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 132.35 299.50 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -18.75-18.75

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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Nov 3, 2006 (20061103/UP).

=> d his

L1

L4

(FILE 'HOME' ENTERED AT 12:05:00 ON 08 NOV 2006)

FILE 'REGISTRY' ENTERED AT 12:05:10 ON 08 NOV 2006

STRUCTURE UPLOADED

L2 6 S L1

L3 117 S L1 FULL

FILE 'CAPLUS' ENTERED AT 12:05:43 ON 08 NOV 2006 25 S L3 FULL

FILE 'STNGUIDE' ENTERED AT 12:11:52 ON 08 NOV 2006

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL
FULL ESTIMATED COST	0.06	SESSION 299.56
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY 0.00	SESSION -18.75

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